Answer 1:

Bibliographic Information

Pr paration of erythromycin derivatives for enhancing gastrointestinal motility. Koga, Hiroshi; Sato, Tsutomu; Takanashi, Hisanori. (Chugai Seiyaku K. K., Japan). PCT Int. Appl. (1993), 81 pp. CODEN: PIXXD2 WO 9324509 A1 19931209 Designated States W: AU, BB, BG, BR, CA, CZ, FI, HU, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN. Designated States RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, ML, MR, NE, SN, TD, TG. Patent written in Japanese. Application: WO 93-JP702 19930526. Priority: JP 92-133828 19920526. CAN 120:271071 AN 1994:271071 CAPLUS (Copyright 2003 ACS on SciFinder (R)

Patent Family Information

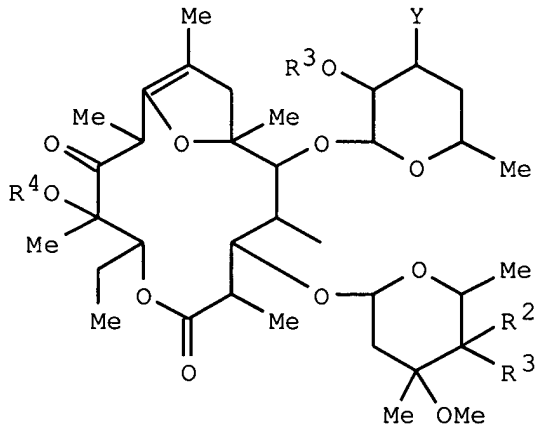
Patent No.	Kind	Date	Application No.	Date
WO 9324509	A1	19931209	WO 1993-JP702	19930526
	W: AU, BB, BG, BR, CA, CZ, FI, HU, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN			
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
HR 930926	B1	20001031	HR 1993-930926	19930524
IL 105810	A1	19981227	IL 1993-105810	19930525
ZA 9303679	A	19931221	ZA 1993-3679	19930526
AU 9340897	A1	19931230	AU 1993-40897	19930526
AU 659740	B2	19950525		
CN 1081184	A	19940126	CN 1993-106467	19930526
CN 1036199	B	19971022		
JP 06056873	A2	19940301	JP 1993-124514	19930526
JP 3068367	B2	20000724		
EP 643068	A1	19950315	EP 1993-910399	19930526
EP 643068	B1	19980819		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
AT 169927	E	19980915	AT 1993-910399	19930526
ES 2120499	T3	19981101	ES 1993-910399	19930526
US 5658888	A	19970819	US 1994-318814	19941019
HK 1009969	A1	20000512	HK 1998-110895	19980924

Priority Application Information

JP 1992-133828	19920526
WO 1993-JP702	19930526

Abstract

The title compds. [I; R1 represents hydrogen or acyl; R2 and R3 may be the same or different from each other and each represents hydrogen, hydroxy, acyloxy or amino, or alternatively R2 and R3 are combined together to represent O or NOR10, wherein R10 represents hydrogen or lower alkyl; R4 represents hydrogen or lower alkyl; and Y represents -NR5R6 or -N+R7R8R9X-, wherein R5, R7, R8 and R9 may be the same or different from one another and each represents hydrogen, optionally substituted lower alkyl, lower alkenyl, lower alkynyl or cycloalkyl, or a 3- to 7-membered heterocyclic group contg. oxygen, nitrogen or sulfur as the heteroatom, and X represents an anion, provided that a pair of R5 and R6 and a pair of R7 and R8 may be each combined with the adjacent nitrogen atom to represent azacycloalkyl] and their pharmaceutically acceptable salts, being extremely reduced in the decomposability by gastric juice as compared with other known erythromycin derivs. and having an excellent activity of promoting the movement of digestive tracts, are prepd. E.g., 2'-O-acetyl-4"-O-formyl-8,9-anhydroerythromycin A 6,9-hemiketal in Me2SO-CH2Cl2 contg. DCC was treated with pyridinium trifluoroacetate at room temp. for 4 h to give I [Y = Me2N, R1 = Ac, R2 = R4 = H, R3 = OCHO]. I [Y = Me2CHNMe, R1 = R2 = H, R3 = OH, R4 = Me] (II) (also prepd.) had an IC50 of 4.1×10-9 M vs. 2.6×10-9 M for the known EM-523 against motilin; whereas in HCl soln. the IC50 of II was 9.1×10-9 M and that of EM-523 was 2.6×10-9 M.



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